

ENTER (DIS), GRA, NOD, BON OR ?:end  
L7 STRUCTURE CREATED

=> s 17 ful  
FULL SEARCH INITIATED 07:44:14 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 27290 TO ITERATE

100.0% PROCESSED 27290 ITERATIONS  
SEARCH TIME: 00.00.07

170 ANSWERS

L8 170 SEA SSS FUL L7

=> s 18 not 15  
L9 154 L8 NOT L5

(FILE 'HOME' ENTERED AT 07:40:30 ON 16 NOV 2005)

FILE 'REGISTRY' ENTERED AT 07:40:34 ON 16 NOV 2005

L1 STRUC  
L2 13 S L1  
L3 STRUC  
L4 3 S L3  
L5 16 S L3 FUL

FILE 'CAPLUS' ENTERED AT 07:43:17 ON 16 NOV 2005

L6 1 S L5

FILE 'REGISTRY' ENTERED AT 07:43:56 ON 16 NOV 2005

L7 STRUC  
L8 170 S L7 FUL  
L9 154 S L8 NOT L5

FILE 'CAPLUS' ENTERED AT 07:44:34 ON 16 NOV 2005

L10 51 S L9  
L11 17 S L10 AND US/PC  
L12 7 S L10 AND (ASTHMA OR COPD OR LUNG)

=> d bib abs 1-7

L12 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2005:136543 CAPLUS  
DN 142:246142  
TI Medicaments comprising PDE IV inhibitors and an anticholinergic agent for  
treating respiratory disorders  
IN Germeyer, Sabine; Meade, Christopher John Montague; Meissner, Helmut;  
Morschhaeuser, Gerd; Pairet, Michel; Pestel, Sabine; Pieper, Michael P.;  
Pohl, Gerald; Reichl, Richard; Speck, Georg  
PA Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim  
Pharma G.m.b.H. & Co. K.-G.  
SO PCT Int. Appl., 41 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005013967	A1	20050217	WO 2004-EP8003	20040723
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2005043343	A1	20050224	US 2004-891562	20040715
PRAI	EP 2003-17039	A	20030728		
	US 2003-508119P	P	20031002		

OS MARPAT 142:246142

AB The present invention relates to pharmaceutical compns. based on PDE IV  
inhibitors and salts of a novel anticholinergic, processes for preparing them  
and their use in the treatment of respiratory complaints. For example,  
scopine 9-methylfluorene-9-carboxylate methobromide was prepared and  
formulated into inhalable powder containing the drug 80 µg, AWD-12-281 200

µg, and lactose 12220 µg per capsule.  
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2005:98819 CAPLUS  
DN 142:198250  
TI Medicaments for inhalation comprising an anticholinergic and a betamimetic  
IN Meade, Christopher John Montague; Pairet, Michel; Pieper, Michael P.;  
Konetzki, Ingo  
PA Boehringer Ingelheim International G.m.b.H., Germany  
SO U.S. Pat. Appl. Publ., 33 pp.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005025718	A1	20050203	US 2004-891564	20040715
	WO 2005013994	A1	20050217	WO 2004-EP8013	20040717
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	EP 2003-17349	A	20030731		
	US 2003-508124P	P	20031002		
OS	MARPAT 142:198250				
GI					

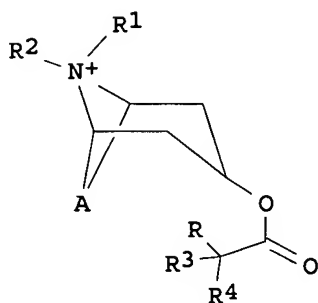
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB A pharmaceutical composition comprising an anticholinergic, e.g., tropium salt I·X- (X = anion of single neg. charge; F, Cl, Br, I, sulfate, phosphate, SO<sub>3</sub>Me, NO<sub>3</sub>, maleate, OAc, citrate, fumarate, tartrate, oxalate, succinate, OBz, SO<sub>3</sub>C<sub>6</sub>H<sub>4</sub>Me-4; optionally as racemates, enantiomers, solvates and/or hydrates), quaternary ammonium salt II·X- [R = Me, Et], or alkaloid salt III·X- [A = bond, O, CH<sub>2</sub>, H<sub>2</sub>; R<sub>1</sub>, R<sub>2</sub> = Me, Et, CH<sub>2</sub>Et, CHMe<sub>2</sub> (optionally substituted by OH, F); R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> = H, Me, Et, OMe, OEt, OH, F, Cl, Br, CN, CF<sub>3</sub>, NO<sub>2</sub>; R<sub>7</sub> = H, Me, Et, OMe, OEt, CH<sub>2</sub>F, CH<sub>2</sub>CH<sub>2</sub>F, OCH<sub>2</sub>F, OCH<sub>2</sub>CH<sub>2</sub>F, CH<sub>2</sub>OH, CH<sub>2</sub>CH<sub>2</sub>OH, CF<sub>3</sub>, CH<sub>2</sub>OMe, CH<sub>2</sub>CH<sub>2</sub>OMe, CH<sub>2</sub>OEt, CH<sub>2</sub>CH<sub>2</sub>OEt, OAc, OC(:O)Et, OC(:O)CF<sub>3</sub>, F, Cl, Br], and a betamimetic, e.g., quinolone IV or its enantiomers, optionally together with a pharmaceutically acceptable excipient, the anticholinergic and the betamimetic optionally in the form of their enantiomers, mixts. of their enantiomers, their racemates, their solvates, or their hydrates, processes for preparing them, and their use in the treatment of asthma, COPD, or other inflammatory or obstructive respiratory complaints.

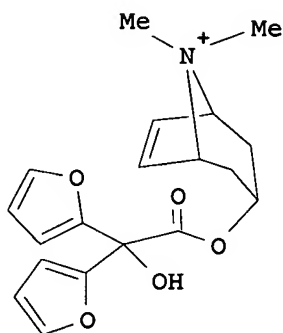
L12 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2003:757704 CAPLUS  
DN 139:277047  
TI Preparation of difurylglycolic acid esters of tropenol as muscarinic M3 antagonists and use thereof as medicaments  
IN Morschhaeuser, Gerd; Pieper, Michael P.; Speck, Georg

PA Boehringer Ingelheim Pharma GmbH & Co. Kg, Germany  
 SO PCT Int. Appl., 35 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2003078428	A1	20030925	WO 2003-EP2418	20030310	
	W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW		
	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
	DE 10211700	A1	20030925	DE 2002-10211700	20020316	
	US 2003229227	A1	20031211	US 2003-379441	20030304	
	CA 2476746	AA	20030925	CA 2003-2476746	20030310	
	EP 1487831	A1	20041222	EP 2003-706609	20030310	
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK		
	JP 2005520832	T2	20050714	JP 2003-576433	20030310	
	US 2005038252	A1	20050217	US 2004-948427	20040923	
PRAI	DE 2002-10211700	A	20020316			
	US 2002-368023P	P	20020327			
	US 2003-379441	A1	20030304			
	WO 2003-EP2418	W	20030310			
OS	MARPAT 139:277047					
GI						



I



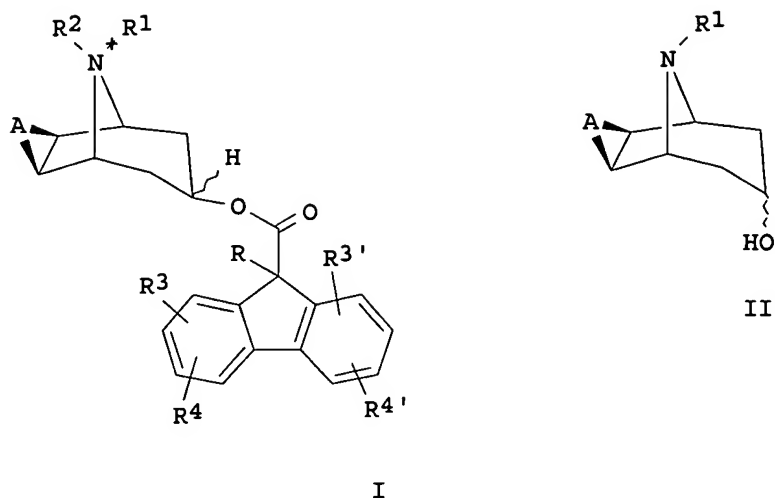
II

AB The invention relates to the preparation of novel difurylglycolic acid esters, such as I·X- [A = CH<sub>2</sub>CH<sub>2</sub>, CH:CH, CH(O)CH; X- = neg. charged anion (chloride, bromide, iodide, sulfate, phosphate, methanesulfonate, nitrate, maleate, acetate, citrate, fumarate, tartrate, oxalate, succinate, benzoate, p-toluenesulfonate); R = H, OH, Me, Et, CF<sub>3</sub>, CHF<sub>2</sub>, F; R<sub>1</sub>, R<sub>2</sub> = alkyl, cycloalkyl, OH, halo; R<sub>1</sub>R<sub>2</sub> = alkylene bridge; R<sub>3</sub>, R<sub>4</sub> = (un)substituted furyl], their optical isomers, mixts., enantiomers and racemates, and to their use as medicaments. Thus, II·Br- was prepared via a multistep synthetic sequence starting from α-furylcarbinol, tropenol and Me bromide. The muscarinic M<sub>3</sub> antagonistic activity of I was examined (no data). Pharmaceutical formulations containing I are presented.

RE.CNT 2      THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2003:610447 CAPLUS  
DN 139:164908  
TI Methods for the production of novel fluorencarboxylic acid esters and  
their use as anticholinergic pharmaceuticals  
IN Pestel, Sabine; Reichl, Richard; Meissner, Helmut; Pohl, Gerald; Pieper,  
Michael P.; Germeyer, Sabine; Speck, Georg; Morschhaeuser, Gerd  
PA Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany  
SO PCT Int. Appl., 40 pp.  
CODEN: PIXXD2  
DT Patent  
LA German  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2003064419	A1	20030807	WO 2003-EP534	20030121
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,				
	PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,				
	UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				
	KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,				
	FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,				
	BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10203741	A1	20030814	DE 2002-10203741	20020131
	US 2003199539	A1	20031023	US 2003-335795	20030102
	US 6790856	B2	20040914		
	CA 2472149	AA	20030807	CA 2003-2472149	20030121
	EP 1472251	A1	20041103	EP 2003-734600	20030121
	EP 1472251	B1	20050720		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003007294	A	20041221	BR 2003-7294	20030121
	JP 2005516067	T2	20050602	JP 2003-564042	20030121
	EP 1561751	A1	20050810	EP 2005-4525	20030121
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	AT 299880	E	20050815	AT 2003-734600	20030121
	NZ 534784	A	20050826	NZ 2003-534784	20030121
	US 2004157832	A1	20040812	US 2004-772797	20040205
	US 6815452	B2	20041109		
	US 2005020622	A1	20050127	US 2004-914744	20040809
	NO 2004003612	A	20040830	NO 2004-3612	20040830
PRAI	DE 2002-10203741	A	20020131		
	US 2002-368416P	P	20020328		
	US 2003-335795	A1	20030102		
	EP 2003-734600	A3	20030121		
	WO 2003-EP534	W	20030121		
	US 2004-772797	A1	20040205		
OS	CASREACT 139:164908; MARPAT 139:164908				
GI					



AB The invention relates to novel fluorene-carboxylic acid esters I·X- [X- = neg. charged anion; A = O, bond, H<sub>2</sub>; R = H, OH, Me, Et, CF<sub>3</sub>, CHF<sub>2</sub>, F; R<sub>1</sub>, R<sub>2</sub> = C1-5-alkyl (optionally substituted with C3-6-cycloalkyl, OH, halogen); R<sub>1</sub>R<sub>2</sub> = C3-5-alkylene; R<sub>3</sub>, R<sub>3'</sub>, R<sub>4</sub>, R<sub>4'</sub> = H, C1-4-alkyl, C1-4-alkoxy, OH, CF<sub>3</sub>, CHF<sub>2</sub>, NO<sub>2</sub>, CN, halogen], their optical isomers, mixts., enantiomers and racemates, to methods for producing them from alcs. II and to the use of the same as anticholinergic pharmaceuticals. Thus, I·Br- [A = bond, R = F, R<sub>1</sub> = R<sub>2</sub> = Me, R<sub>3</sub> = R<sub>4</sub> = R<sub>3'</sub> = R<sub>4'</sub> = H] was prepared from 9-hydroxy-9-fluorene-carboxylic acid via esterification with MeOH containing H<sub>2</sub>SO<sub>4</sub>, transesterification with tropenol (II; α-OH, A = bond, R<sub>1</sub> = Me) and sodium in a melt, fluorination with (MeOCH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>NSF<sub>3</sub> in CH<sub>2</sub>Cl<sub>2</sub> and N-methylation with MeBr in MeCN. The muscarinic acetylcholine receptor binding activity of I·X- was determined (no data). Pharmaceutical formulations containing I·X- are described.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2003:610445 CAPLUS  
DN 139:164906  
TI Method for preparation of xanthenecarboxylic acid esters of tropenol and scopine as M<sub>3</sub> antagonists and use thereof as medicaments  
IN Pestel, Sabine; Reichl, Richard; Meissner, Helmut; Pohl, Gerald; Pieper, Michael P.; Germeyer, Sabine; Speck, Georg; Morschhaeuser, Gerd  
PA Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany  
SO PCT Int. Appl., 40 pp.  
CODEN: PIXXD2  
DT Patent  
LA German  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003064417	A1	20030807	WO 2003-EP532	20030121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,				

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

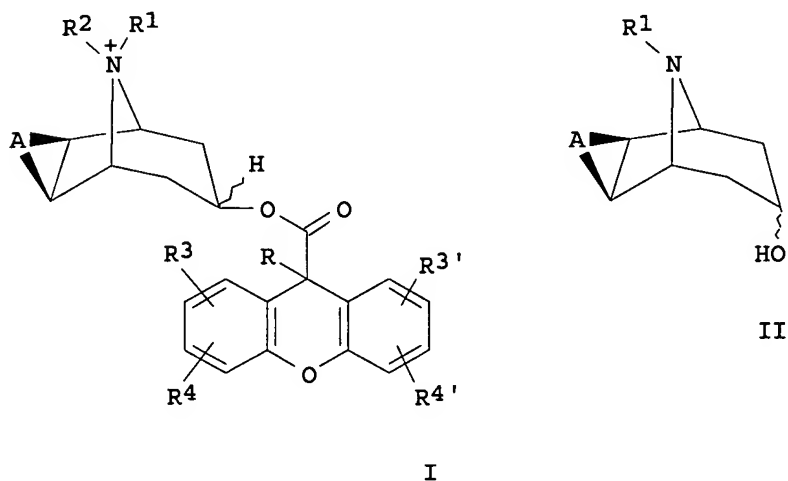
DE 10203753	A1	20030814	DE 2002-10203753	20020131
US 2003203928	A1	20031030	US 2003-342080	20030114
CA 2471578	AA	20030807	CA 2003-2471578	20030121
EP 1472249	A1	20041103	EP 2003-704440	20030121

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2005525322	T2	20050825	JP 2003-564040	20030121
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PRAI DE 2002-10203753 A 20020131  
US 2002-368238P P 20020328  
WO 2003-EP532 W 20030121

OS CASREACT 139:164906; MARPAT 139:164906  
GI



AB The invention relates to novel xanthene-carboxylic acid esters I·X-  
[ X = neg. charged anion; A = bond, O; R = OH, Me, CH<sub>2</sub>OH, Et, CF<sub>3</sub>, CHF<sub>2</sub>, F  
R<sub>1</sub>, R<sub>2</sub> = C<sub>1</sub>-5-alkyl (optionally substituted with C<sub>3</sub>-6-cycloalkyl, OH,  
halogen); R<sub>1</sub>R<sub>2</sub> = C<sub>3</sub>-5-alkylene bridge; R<sub>3</sub>, R<sub>3</sub>', R<sub>4</sub>, R<sub>4</sub>' = H, C<sub>1</sub>-4-alkyl,  
C<sub>1</sub>-4-alkoxy, OH, CF<sub>3</sub>, CHF<sub>2</sub>, CN, NO<sub>2</sub>, halogen], their optical isomers,  
mixts., enantiomers and racemates, to a method for producing said esters  
from alcs. II and to the use thereof as medicaments. Thus, I·Br-  
was prepared from 9-xanthenecarboxylic acid, via esterification (NaOEt in  
EtOH, then MeI), regioselective hydroxylation (KOCMe<sub>3</sub> in THF, then O<sub>2</sub>),  
transesterification with tropenol and N-methylation with MeBr in  
CH<sub>2</sub>Cl<sub>2</sub>/MeCN. The M<sub>3</sub> antagonistic activity of I was examined (no data).  
Pharmaceutical formulations containing I are presented.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:291678 CAPLUS

DN 136:310064

TI Procedures for the production of new anticholinergics, and their use as  
drugs

IN Meissner, Helmut; Morschhaeuser, Gerd; Pieper, Helmut; Pohl, Gerald;  
Reichl, Richard; Speck, Georg

PA Boehringer Ingelheim Pharma K.-G., Germany

SO Ger. Offen., 28 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

PI	DE 10050995	A1	20020418	DE 2000-10050995	20001014
	WO 2002032898	A2	20020425	WO 2001-EP11243	20010928
	WO 2002032898	A3	20021212		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002013977	A5	20020429	AU 2002-13977	20010928
	US 2002119991	A1	20020829	US 2001-965766	20010928
	US 6852728	B2	20050208		
	CA 2425560	AA	20030411	CA 2001-2425560	20010928
	EP 1328524	A2	20030723	EP 2001-982378	20010928
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	JP 2004511556	T2	20040415	JP 2002-536280	20010928
	US 2005054664	A1	20050310	US 2004-970240	20041021
PRAI	DE 2000-10050995	A	20001014		
	US 2000-249350P	P	20001116		
	US 2001-965766	A1	20010928		
	WO 2001-EP11243	W	20010928		
OS	CASREACT 136:310064; MARPAT 136:310064				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The present invention concerns new anticholinergics I [A = CH<sub>2</sub>CH<sub>2</sub>, CH:CH, oxirane-2,3-diyl; X- = simple anion; R<sub>1</sub>, R<sub>2</sub> = C<sub>1</sub>-4-alkyl, C<sub>1</sub>-4-hydroxyalkyl, C<sub>1</sub>-4-haloalkyl; R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> = H, C<sub>1</sub>-4-alkyl, C<sub>1</sub>-4-alkoxy, OH, CF<sub>3</sub>, CN, NO<sub>2</sub>, halogen, whereby at least one of R<sub>3</sub> - R<sub>6</sub> ≠ H] as an optically active isomers, as mixts. of enantiomers or as racemates, procedures for their production as well as their use as drugs. Thus, the diphenylglycolate II·Br- was prepared from tropenol via transesterification of Et bis(3,4-difluorophenyl)glycolate followed by quaternization with MeBr in CH<sub>2</sub>Cl<sub>2</sub>/MeCN. Pharmaceutical formulations, for the use of I in tablets, ampuls, aerosols, solns. and inhalants, are presented.

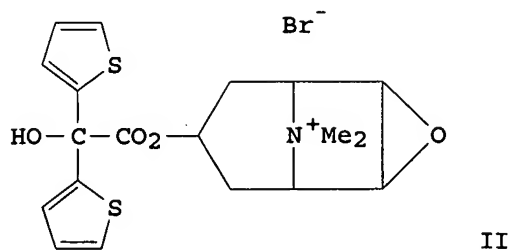
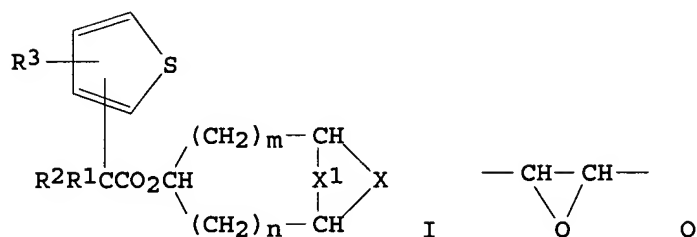
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 1992:20937 CAPLUS  
DN 116:20937  
TI Preparation of anticholinergic scopine, (nor)tropine, and granatoline esters of thienylcarboxylic acids and their quaternary salts  
IN Banholzer, Rolf; Bauer, Rudolf; Reichl, Richard  
PA Boehringer Ingelheim K.-G., Germany; Boehringer Ingelheim International G.m.b.H.  
SO Eur. Pat. Appl., 23 pp.  
CODEN: EPXXDW  
DT Patent  
LA German  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	EP 418716	A1	19910327	EP 1990-117554	19900912



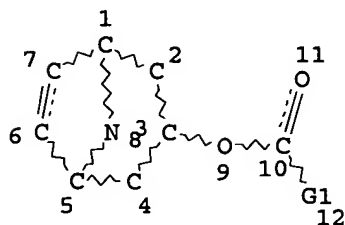
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DE 3931041	A1	19910328	DE 1989-3931041	19890916
DE 3931041	C2	20000406		
DD 297647	A5	19920116	DD 1990-343854	19900906
CA 2066248	AA	19910317	CA 1990-2066248	19900908
CA 2066248	C	19980804		
WO 9104252	A1	19910404	WO 1990-EP1517	19900908
W: AU, CA, FI, HU, JP, NO, SU, US				
AU 9064318	A1	19910418	AU 1990-64318	19900908
AU 642913	B2	19931104		
HU 60740	A2	19921028	HU 1992-857	19900908
HU 208823	B	19940128		
JP 05502438	T2	19930428	JP 1990-513253	19900908
JP 07030074	B4	19950405		
RU 2073677	C1	19970220	RU 1990-5011520	19900908
AT 103914	E	19940415	AT 1990-117554	19900912
ES 2052125	T3	19940701	ES 1990-117554	19900912
ZA 9007338	A	19920826	ZA 1990-7338	19900914
PL 168468	B1	19960229	PL 1990-286900	19900914
IL 95691	A1	19960723	IL 1990-95691	19900914
KR 168432	B1	19990115	KR 1990-14543	19900914
SK 279453	B6	19981104	SK 1990-4523	19900917
CZ 284589	B6	19990113	CZ 1990-4523	19900917
NO 9201002	A	19920313	NO 1992-1002	19920313
NO 301478	B1	19971103		
FI 114395	B1	20041015	FI 1992-1087	19920313
US 5610163	A	19970311	US 1995-405111	19950316
PRAI DE 1989-3931041	A	19890916		
DE 1989-3931042	A	19890916		
WO 1990-EP1517	A	19900908		
EP 1990-117554	A	19900912		
US 1992-838724	B1	19920313		
US 1993-100822	B1	19930802		
US 1994-254324	B1	19940606		
OS MARPAT 116:20937				
GI				



AB The title compds. [I; R1 = (un)substituted thienyl, Ph, furyl,

cyclopentyl, cyclohexyl; R2 = H, HO, C1-4 alkyl, C1-4 alkoxy; R3 = H, F, Cl, Me; X = CH2CH2, CH2CH2CH2, CH:CH, Q; X1 = NR, NRR4; R = H, (un)substituted C1-4 alkyl; R4 = C1-4 alkyl; RR4 = C4-6 alkylene; m, n = 1, 2] and their acid addition salts (when NR = secondary or tertiary amino group), anticholinergic agents useful for the treatment of bronchitis, asthma, and sinus bradycardia, were prepared by transesterification of C1-4 alkyl thienylcarboxylates with the appropriate azabicyclic alcs. Thus, a solution of 50.87 g Me di-(2-thienyl)glycolate and 31.04 g scopolamine in 100 mL PhMe was treated by 1.65 g Na in several portions at 90° and the MeOH formed was removed by distillation at 78-90° and 500 mbar over 5 h to give 33.79 g appropriate scopolamine ester. This in a mixture of 20 mL CH2Cl2 and 30 mL MeCN was treated by MeI (50% solution in MeCN) and the mixture left for 24 h at room temperature to give title compound II which at 3 µg/kg i.v. in rabbits retained 78% inhibitory action against acetylcholine-induced bronchospasms 5 h after administration. Pharmaceutical compns. comprising I are given.

=> d 13  
L3 HAS NO ANSWERS  
L3 STR



VAR G1=O/N  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

=> s 13 ful  
FULL SEARCH INITIATED 07:43:14 FILE 'REGISTRY'  
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FULL ESTIMATED COST

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=> s 15

L6 1 L5

=> d bib abs hitstr

L6 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:450542 CAPLUS

DN 141:23766

TI Preparation of new carbamic acid esters with anti-cholinergic effectiveness

IN Grauert, Matthias; Hoffmann, Matthias; Pieper, Michael P.; Speck, Georg; Breitfelder, Steffen

PA Boehringer Ingelheim Pharma GmbH & Co. Kg, Germany

SO Ger. Offen., 40 pp.

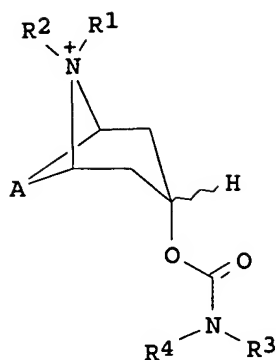
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DT Patent

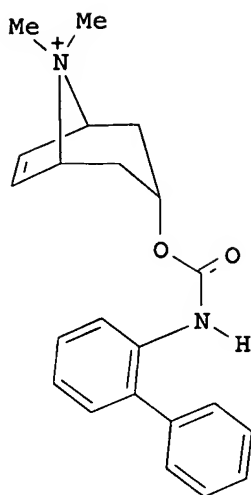
LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	DE 10255040	A1	20040603	DE 2002-10255040	20021126
	CA 2507110	AA	20040610	CA 2003-2507110	20031119
	WO 2004048373	A1	20040610	WO 2003-EP12912	20031119
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	EP 1567526	A1	20050831	EP 2003-782212	20031119
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	BR 2003016549	A	20051004	BR 2003-16549	20031119
	US 2004132760	A1	20040708	US 2003-718403	20031120
PRAI	DE 2002-10255040	A	20021126		
	US 2003-446600P	P	20030211		
	WO 2003-EP12912	W	20031119		
OS	MARPAT 141:23766				
GI					



I



II

AB The present invention concerns new carbamic acid esters, e.g., I·X- [X = neg. charged ion (Cl, Br, I, sulfate, phosphate, MeSO<sub>3</sub>, NO<sub>3</sub>, maleate, OAc, citrate, fumarate, tartrate, oxalate, succinate, PhCO<sub>2</sub>, p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>3</sub>); A = CH<sub>2</sub>CH<sub>2</sub>, CH:CH, oxirane-2,3-diyl, cyclopropane-1,2-diyl; R<sub>1</sub>, R<sub>2</sub> = C1-5-alkyl, hydroxyalkyl, haloalkyl, (C3-6-cycloalkyl)alkyl; R<sub>1</sub>R<sub>2</sub> = C3-6-alkylene; R<sub>3</sub>, R<sub>4</sub> = H, (un)substituted C1-5-alkyl, C6-10-aryl, (C6-10-aryl)-(C1-4-alkylene)], their enantiomers, diastereomers, their physiol. acceptable salts, solvates and hydrates, and procedures for their production as well as their use can have as drugs, in particular as drugs with anti-cholinergic effectiveness. Thus, tropenol ester II·Br<sup>-</sup> was prepared from tropenol via carbamylation with 2-PhC<sub>6</sub>H<sub>4</sub>NCO in MeCN and quaternization with MeBr in MeCN. M<sub>3</sub> receptor binding for I was studied (no data).

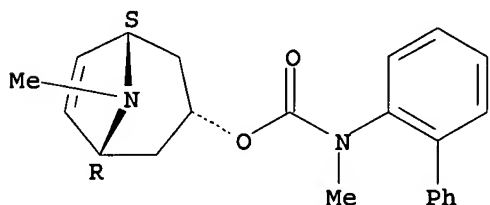
IT 697794-75-5P 698387-99-4P, Tropenol N-[(1,1'-biphenyl-2-yl)methyl]carbamate 698388-00-0P, Tropenol N-(9H-fluoren-9-yl)carbamate 698390-05-5P, Tropenol N-benzhydrylcarbamate 698390-47-5P, Tropenol N-(1,1'-biphenyl-2-yl)carbamate  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with Me bromide; preparation of new carbamic acid esters with anti-cholinergic effectiveness)

RN 697794-75-5 CAPLUS

CN Carbamic acid, [1,1'-biphenyl]-2-ylmethyl-, (3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-6-en-3-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

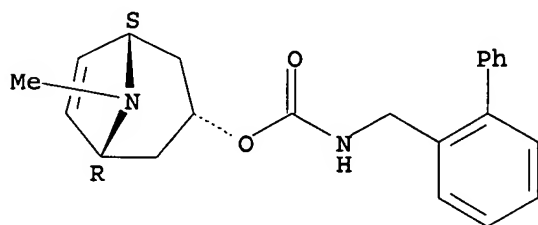
Relative stereochemistry.



RN 698387-99-4 CAPLUS

CN Carbamic acid, ([1,1'-biphenyl]-2-ylmethyl)-, (3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-6-en-3-yl ester (9CI) (CA INDEX NAME)

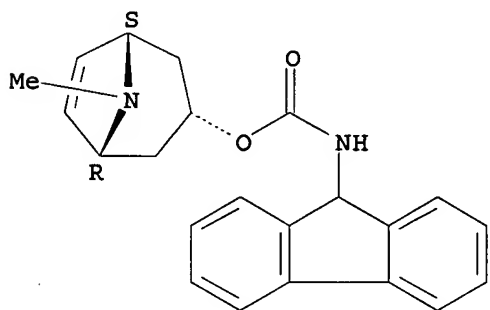
Relative stereochemistry.



RN 698388-00-0 CAPLUS

CN Carbamic acid, 9H-fluoren-9-yl-, (3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-6-en-3-yl ester (9CI) (CA INDEX NAME)

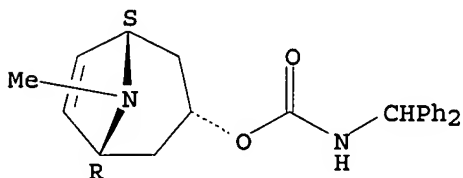
Relative stereochemistry.



RN 698390-05-5 CAPLUS

CN Carbamic acid, (diphenylmethyl)-, (3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-6-en-3-yl ester (9CI) (CA INDEX NAME)

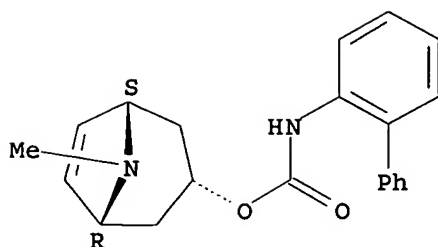
Relative stereochemistry.



RN 698390-47-5 CAPLUS

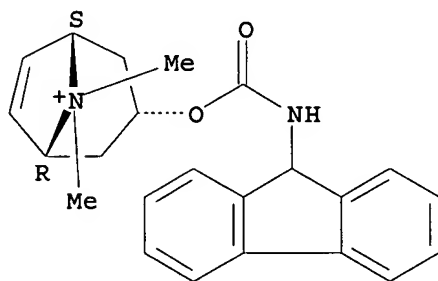
CN Carbamic acid, [1,1'-biphenyl]-2-yl-, (3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-6-en-3-yl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 697794-72-2P 698390-61-3P, Tropenol N-(1,1'-biphenyl-2-yl)carbamate methobromide 698390-63-5P, Tropenol N-(1,1'-biphenyl-2-yl)-N-methylcarbamate methobromide 698390-64-6P, Tropenol N-benzhydrylcarbamate methobromide 698390-65-7P, Tropenol N-[(1,1'-biphenyl-2-yl)methyl]carbamate methobromide  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of new carbamic acid esters with anti-cholinergic effectiveness)  
 RN 697794-72-2 CAPLUS  
 CN 8-Azoniabicyclo[3.2.1]oct-6-ene, 3-[[[(9H-fluoren-9-ylamino)carbonyl]oxy]-8,8-dimethyl-, bromide, (3-endo)- (9CI) (CA INDEX NAME)

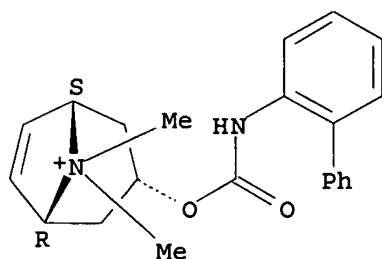
Relative stereochemistry.



● Br<sup>-</sup>

RN 698390-61-3 CAPLUS  
 CN 8-Azoniabicyclo[3.2.1]oct-6-ene, 3-[[[[(1,1'-biphenyl)-2-ylamino)carbonyl]oxy]-8,8-dimethyl-, bromide, (1α,3β,5α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

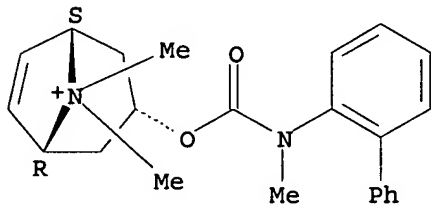


● Br<sup>-</sup>

RN 698390-63-5 CAPLUS

CN 8-Azoniabicyclo[3.2.1]oct-6-ene, 3-[[[([1,1'-biphenyl]-2-ylmethylamino)carbonyl]oxy]-8,8-dimethyl-, bromide, (1 $\alpha$ ,3 $\beta$ ,5 $\alpha$ )- (9CI) (CA INDEX NAME)

Relative stereochemistry.

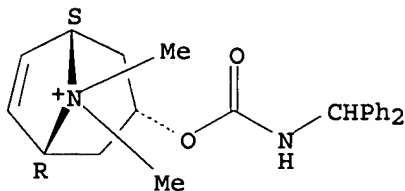


● Br<sup>-</sup>

RN 698390-64-6 CAPLUS

CN 8-Azoniabicyclo[3.2.1]oct-6-ene, 3-[[[(diphenylmethyl)amino]carbonyl]oxy]-8,8-dimethyl-, bromide, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

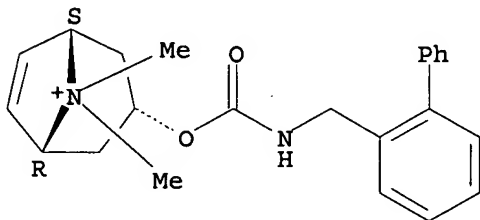


● Br<sup>-</sup>

RN 698390-65-7 CAPLUS

CN 8-Azoniabicyclo[3.2.1]oct-6-ene, 3-[[[([1,1'-biphenyl]-2-ylmethyl)amino]carbonyl]oxy]-8,8-dimethyl-, bromide, (1 $\alpha$ ,3 $\beta$ ,5 $\alpha$ )- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● Br<sup>-</sup>